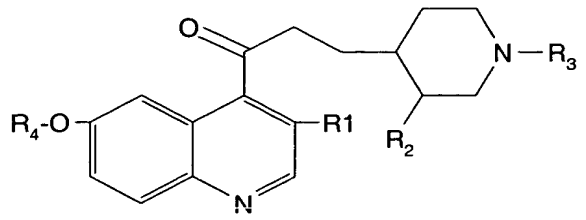
CLAIMS

1. A compound of the formula (I):



(I)

wherein:

R_1 is hydrogen or fluorine;

R_2 is carboxyl, carboxymethyl or hydroxymethyl;

R_3 is C_{1-6}alkyl substituted with phenylthio, C_{3-7}cycloalkylthio or 5- to 6-membered heteroarylthio; or propargyl substituted with phenyl, C_{3-7}cycloalkyl or 5- to 6-membered heteroaryl;
wherein said heteroaryl is having 1 to 4 heteroatoms chosen from nitrogen, oxygen and sulfur; and
wherein said phenyl or said heteroaryl is optionally substituted with one or more substituents selected from the group consisting of halogen, hydroxyl, alkyl, alkyloxy, trifluoromethyl, trifluoromethoxy, carboxyl, alkyloxycarbonyl, cyano and amino; and
wherein said cycloalkyl is optionally substituted with one or more substituents chosen from halogen and trifluoromethyl; and

R_4 is C_{1-6}alkyl, C_{2-6}alkenyl-CH_2- or C_{2-6}alkynyl-CH_2-, C_{3-8}cycloalkyl or C_{3-8}cycloalkylalkyl; or

an isomer, an enantiomer, a diastereoisomer or a mixture thereof, or a pharmaceutically acceptable salt thereof.

2. The compound as set forth in claim 1, wherein R_4 is C_{1-6}alkyl.

3. The compound as set forth in claim 1, wherein R_2 is carboxyl.

4. The compound as set forth in claim 1, wherein R_3 is C_{1-6}alkyl substituted with an optionally substituted phenylthio, cycloalkylthio or heteroarylthio.

5. The compound as set forth in claim 4, wherein R_3 is ethyl substituted with thienylthio, phenylthio substituted with halogen or cyclohexylthio or cyclopentylthio.

6. The compound as set forth in claim 1, which is selected from the group consisting of:

1-(2-cyclohexylsulfanylethyl)-4-[3-(3-fluoro-6-methoxyquinolin-4-yl)-3-oxopropyl]piperidine-3-carboxylic acid,

4-[3-(3-fluoro-6-methoxyquinolin-4-yl)-3-oxo-propyl]-1-[3-(2,3,5-trifluorophenyl)prop-2-ynyl]piperidine-3-carboxylic acid,

4-[3-oxo-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-(2,5-difluorophenylsulfanyl)ethyl]piperidine-3-carboxylic acid,

4-[3-oxo-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-(2,5-difluorophenylsulfanyl)ethyl]piperidine-3-acetic

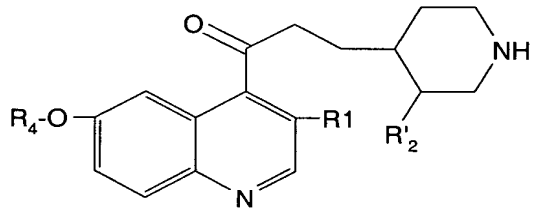
acid,

4-[3-oxo-3-(6-methoxyquinolin-4-yl)propyl]-1-[2-(2-thienylsulfanyl)ethyl]piperidine-3-carboxylic acid, and

4-[3-oxo-3-(6-methoxyquinolin-4-yl)propyl]-1-[3-(2,3,5-trifluorophenyl)prop-2-ynyl]piperidine-3-carboxylic acid, or

an isomer, an enantiomer, a diastereoisomer or a mixture thereof, or a pharmaceutically acceptable salt thereof.

7. A process for preparing a compound of formula (I) as set forth in claim 1, comprising condensing R_3-X with a compound of formula (II) or a corresponding ketone-protected compound of formula (II):

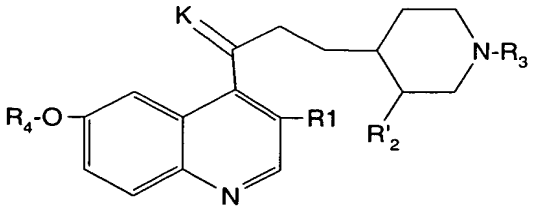


(II)

wherein R_1, R_3 and R_4 are as defined in claim 1; and

R_2' is protected carboxyl or carboxymethyl;

X is halogen, methylsulfonyloxy, trifluoromethylsulfonyloxy or p-toluenesulfonyloxy; to obtain a compound of formula (III):



(III)

wherein R_1, R'_2, R_3 and R_4 are as defined above; and

K is oxygen or a ketone-protecting group; and

deprotecting the compound of formula (III) to form the compound of formula (I) wherein R_2 is carboxyl or carboxymethyl; and optionally

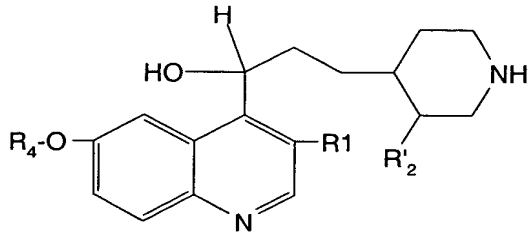
reducing the carboxyl compound of formula (I) thus obtained or reducing directly the protected carboxyl compound of formula (III) to obtain a compound of formula (I) wherein R_2 is hydroxymethyl; and, optionally,

converting said hydroxymethyl compound of formula (I) to a carboxymethyl compound of formula (I); and optionally

separating the isomers, and removing the acid-protecting group, and the ketone-protecting group; and optionally

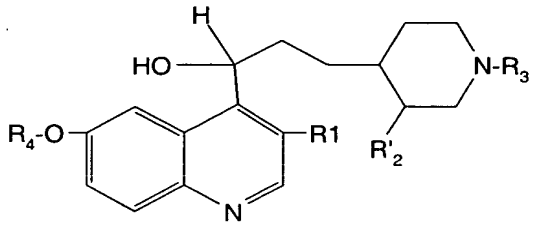
converting said compound to a suitable salt.

8. A process for preparing a compound of formula (I) as set forth in claim 1 comprising condensing R_3-X with a compound of formula (II'):



(II')

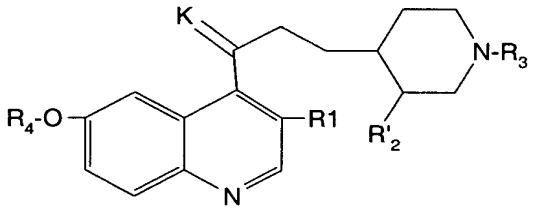
to obtain a compound of formula (III'):



(III')

;

oxidizing the alcohol group in the alpha position of the quinoline to a ketone to obtain a compound of formula (III):



(III)

wherein R_1, R_3 and R_4 are as defined in claim 1 and R'_2 is a protected carboxyl or carboxymethyl; and

X is halogen, methylsulfonyloxy, trifluoromethylsulfonyloxy or p-toluene-sulfonyloxy; and

K is oxygen;

deprotecting the compound of formula (III) to form compound of formula (I) wherein R_2 is carboxyl or carboxymethyl; and optionally

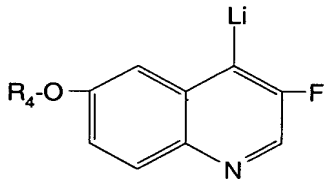
reducing the carboxyl compound of formula (I) thus obtained or reducing directly the protected carboxyl compound of formula (III) to obtain a compound of formula (I) wherein R_2 is hydroxymethyl; and, optionally,

converting said hydroxymethyl compound of formula (I) to a carboxymethyl compound of formula (I); and optionally

separating the isomers, and removing the acid-protecting group, and the ketone-protecting group; and optionally

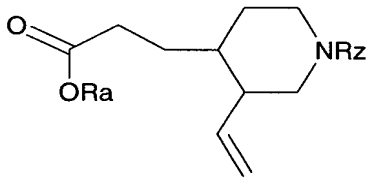
converting said compound to a suitable salt.

9. The process as set forth in claim 7, wherein the compound of formula (II) in which R_1 is fluorine is prepared by the reaction of a compound of formula (VI):



(VI)

with a compound of formula (VII):



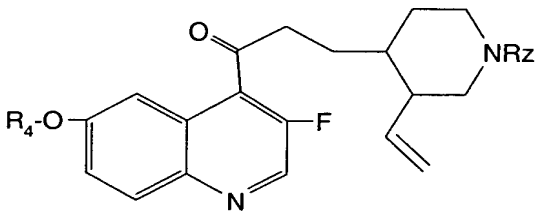
(VII)

wherein R_4 is as defined in claim 7;

Rz is an amine-protecting group; and

Ra is an alkyl group;

to obtain a compound of formula (V):



(V)

;

oxidizing compound of formula (V) to obtain the corresponding compound of formula (I) in which R_2 is carboxyl; and optionally

protecting the carboxyl and the ketone groups; and

reducing the carboxyl to hydroxymethyl, and converting said hydroxymethyl to carboxymethyl; and

deprotecting the ketone and the amine groups to obtain the compound of formula (II) in which R_1 is fluorine.

10. The process as set forth in claim 7 wherein the compound formed is selected from the group consisting of:

1-(2-cyclohexylsulfanylethyl)-4-[3-(3-fluoro-6-methoxyquinolin-4-yl)-3-oxopropyl]piperidine-3-carboxylic acid,

4-[3-(3-fluoro-6-methoxyquinolin-4-yl)-3-oxo-propyl]-1-[3-(2,3,5-trifluorophenyl)prop-2-ynyl]piperidine-3-carboxylic acid,

4-[3-oxo-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-(2,5-difluorophenylsulfanyl)ethyl]piperidine-3-carboxylic acid,

4-[3-oxo-3-(3-fluoro-6-methoxyquinolin-4-yl)propyl]-1-[2-(2,5-difluorophenylsulfanyl)ethyl]piperidine-3-acetic acid,

4-[3-oxo-3-(6-methoxyquinolin-4-yl)propyl]-1-[2-(2-thienylsulfanyl)ethyl]piperidine-3-carboxylic acid, and

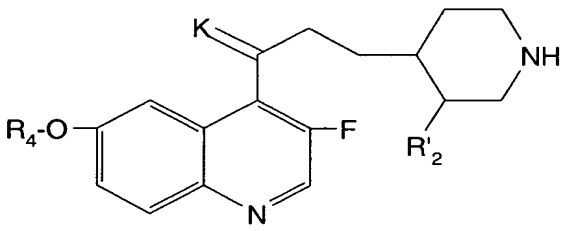
4-[3-oxo-3-(6-methoxyquinolin-4-yl)propyl]-

1-[3-(2,3,5-trifluorophenyl)prop-2-ynyl]piperidine-3-carboxylic acid, or

an isomer, an enantiomer, a diastereoisomer or a mixture thereof, or a pharmaceutically acceptable salt thereof.

11. A pharmaceutical composition comprising therapeutically effective amount of a compound of formula (I) as set forth in claim 1 or a pharmaceutically acceptable salt thereof, in combination with a pharmaceutically acceptable carrier.

12. A compound of formula (II):



(II)

wherein

R'_2 is protected carboxyl or carboxymethyl;

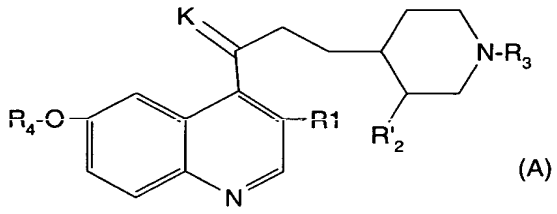
R_4 is C_{1-6}alkyl, C_{2-6}alkenyl-CH_2- or C_{2-6}alkynyl-CH_2-, C_{3-8}cycloalkyl or C_{3-8}cycloalkylalkyl; and

K is oxygen or a ketone-protecting group.

13. The compound as set forth in claim 12 wherein K is oxygen.

14. The compound as set forth in claim 12 wherein K is ketone-protecting group.

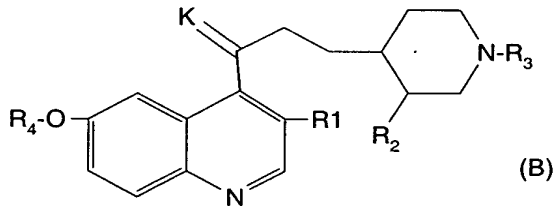
15. A compound of formula (A):



(A)

wherein R_1, R_3 and R_4 are as defined in claim 1, R'_2 is protected carboxyl or carboxymethyl and K is a ketone-protecting group.

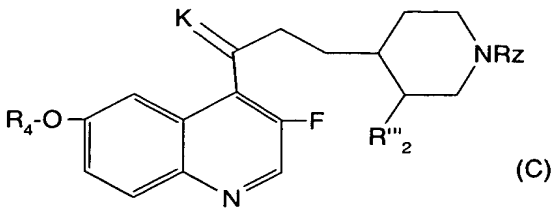
16. A compound of formula (B):



(B)

wherein R_1, R_2, R_3 and R_4 are as defined in claim 1 and K represents a ketone-protecting group

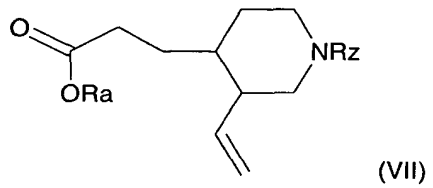
17. A compound of formula (C):



(C)

wherein R_4 is as defined in claim 1, Rz is an amine-protecting group, K is oxygen or a ketone-protecting group and R'''_2 is a free or protected carboxyl or carboxymethyl or hydroxymethyl.

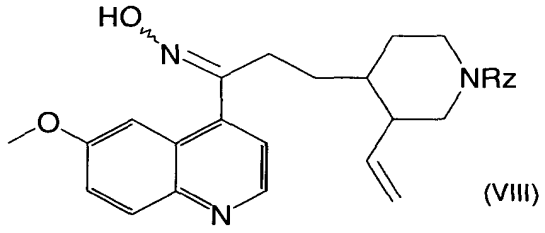
18. A compound of formula (VII):



(VII)

wherein Rz is an amine-protecting group and Ra is C_{1-4}alkyl.

19. A compound of formula (VIII):



(VIII)

wherein Rz is an amine-protecting group.

20. A method of treatment of a bacterial infection in a patient comprising administering to said patient a therapeutically effective amount of a compound of formula (I) as set forth in claim 1 or a pharmaceutically acceptable salt thereof.

21. The method as set forth in claim 20 wherein said bacterial infection is caused by gram (+) bacteria.

22. The method as set forth in claim 20 wherein said bacterial infection is staphylococcic infection.

23. The method as set forth in claim 22 wherein said staphylococcic infection is selected from the group consisting of staphylococcal septicemias, malignant staphylococcic infections of the face or skin, pyoderma, septic or suppurant wounds, anthrax, phlegmons, erysipelas, acute primary or post-influenza staphylococcic infections, bronchopneumonias and pulmonary suppurations.

24. The method as set forth in claim 20 wherein said bacterial infection is colibacilloses and related infections, proteus infection, klebsiella infection, salmonella infection, and infection caused by gram (-) bacteria.